

## REMARKS

### Related Application

Applicants' wish to advise the Examiner that a related Provisional Application has been filed, i.e., Serial Number 60/357,834, filed on February 21, 2002. This provisional Application is directed to a selection of the presently claimed invention.

### Amendments

The claims are amended to employ language in accordance with conventional US practice. These amendments do not affect the scope of the claims. In addition, new claims 27-36 are directed to further aspects of applicants' invention. See, e.g., pages 10, 12-17, and 35-41 of applicants' specification.

### Restriction

In the Office Action the subject matter of the claims is divided into two groups. In general, Group I is directed to that portion of Applicants' claimed genus in which groups R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4</sup>, R<sup>5</sup>, A and B are not heterocyclic groups. All other subject matter of the claimed genus is included in Group II. Applicants' respectfully traverse the Restriction Requirement.

Merely because the Applicants' claimed genus includes heterocyclic groups in no way indicates that the claimed genus is one which is repugnant to scientific classification. The Examiner's action in restricting within a claim (for example, claim 1), precludes the Applicants' from obtaining a patent directed to their inventive genus. Simply because aspects within the claimed genus could be separately classified within the Patent and Trademark Office is not a sufficient basis to require restriction. Moreover, contrary to the assertion in the Restriction, it appears that the examination of the entire claimed subject matter, including those compounds which containing heterocyclic groups, imposes no undue burden. In fact, the Office Action demonstrates that this subject matter is in fact being examined. As discussed further below, the rejection under 35 U.S.C. §112, first paragraph, relates only to that subject matter of the claimed genus which contains heterocyclic groups.

In addition, examination of this case was premised upon an Election of Species. Thus, further examination should be performed in accordance with MPEP §809.02 (c).

In view of the above remarks, withdrawal of the Restriction Requirement and examination to the entire claimed invention is respectfully requested.

**Rejection Under 35 USC §112, first paragraph**

All of the claims are rejected on grounds of alleged lack of enablement. In particular, it is asserted that the disclosure does not provide enablement for compounds in which radicals  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^{4'}$ ,  $R^5$  and  $R^{5'}$  exhibit 5 to 10-membered heteroaryl rings with 1 to 4 hetero atoms selected from N, S and O. In this respect the rejection presents no rational as to why these claims are not enabled, but merely states conclusions. Specifically, it is alleged that the application is not enabled, the claims are broader than the scope of enablement, and the specification lacks sufficient direction and guidance. These are mere conclusions. The rejection fails to set forth any rationale as to how the Examiner arrived at a conclusion of non-enablement.

In any event, contrary to the conclusion stated in the rejection, Applicants' disclosure provides more than sufficient guidance to enable one of ordinary skill in the art to make and use the claimed invention with no more than routine experimentation. For example, at page 43, it is stated that the compounds can be synthesized in accordance with known processes such as those described in EP 0 531 883. At pages 44-53, the disclosure sets forth eighteen different general operating instructions for use in preparing the compounds of the claimed genus. Thereafter, from page 54 to page 199, Applicants' present **no less than 306 synthesis examples** demonstrating how to prepare compounds in accordance with the claimed genus. These synthesis examples include compounds which exhibit heterocyclic groups.

Additional guidance concerning heterocyclic groups is provided at page 10 of the specification where exemplary monocyclic and bicyclic heteroaryl groups are listed. In light of these disclosures, taken in combination with knowledge possessed by one of ordinary skill in the art, sufficient guidance is provided to objectively enable one of ordinary skill in the art to make and use the claimed invention, including those compounds exhibiting heterocyclic groups, using no more than routine experimentation.

In addition, it is also asserted in the rejection that the disclosure does not enable claim 15 because the claim does not identify a specific disease. Applicants again respectfully disagree that the claimed subject matter is not enabled.

Claim 15 clearly recites treating a patient suffering from a disease. This disease is further clarified as being a disease that is associated with microglia activation. As described in Applicants' specification, degenerative diseases of the central nervous system are connected to chronic inflammation. The inflammation process involves the activation of microglia. When they are in the activated state, microglia produce and secrete various inflammation factors. Thus, inhibiting activation of the microglia can prevent the development or action of these inflammation factors. See Applicants' specification at pages 1-2. A further description of the inhibition of microglia activation, and thus a reduction in the formation of inflammation factors, is described at pages 40-41 of Applicants' specification. In addition, Applicants' specification provides a description of how to measure the inhibition of microglia activation using an *in vitro* assay (see, e.g., example 307 and the middle of page 40 of the specification). Also, at page 42 of Applicants specification, there is described an *in vivo* assay for determining the reduction of microglia activations (see also Example 308). Also, an *in vitro* assay for effects on macrophage activation is described in example 309. Furthermore, a list of diseases associated with microglia activation is provided at page 41 of Applicants' specification.

Moreover, the use of compounds to prohibit activation of microglia and how such inhibition can be used to treat diseases associated with activation of microglia is known within the art. See, for example, Laskowitz et al. (WO 99/45950) at pages 7-10 (copy enclosed).

The rejection does not establish why one of ordinary skill in the art having the knowledge of the mechanism of inhibiting microglia to treat or prevent diseases associated with the activation of microglia, as provided by Applicants' disclosure and the state of the art, would not have sufficient guidance to perform the method recited in claim 15. All that is required under the statute is objective enablement. Whether the teaching of objective enablement is presented in the disclosure through the use of illustrative examples or by broad terminology "is of no importance." See, e.g., *In re Marzocchi et al.*, 169 USPQ 369 (CCPA 1979). Moreover, the test for enablement is not whether any experimentation is needed but whether or not that experimentation is undue. See, e.g., *In re Angstadt*, 10 USPQ 214, 219 (CCPA 1979). In *Angstadt*, the art involved was catalysis which was acknowledged by the Court to be unpredictable. In addition, even a considerable amount of experimentation or

complex experimentation is permissible if it is routine. See, e.g., *Ex parte Jackson*, 217 USPQ 804, 807 (POBA 1982) and *In re Wands*, 8 USPQ 2d 1400, 1404 (Fed. Cir. 1988).

In view of the above remarks, it is respectfully submitted that applicants' disclosure provides more than sufficient guidance to objectively enable one of ordinary skill in the art to practice the invention with no more than routine experimentation. Withdrawal of the rejection under 35 U.S.C. §112, first paragraph, is respectfully requested.

**Rejection Under 35 USC §112, second paragraph**

Claims 3-10, 13 and 15 are rejected as allegedly being indefinite. This rejection is respectfully traversed.

With regards to the preambles of claims 3-10, it is respectfully submitted that one of ordinary skill in the art can readily understand the term "benzimidazoles" as recited in these claims. However, in order to further prosecution, the claims have been amended in accordance with the Examiner's suggestion.

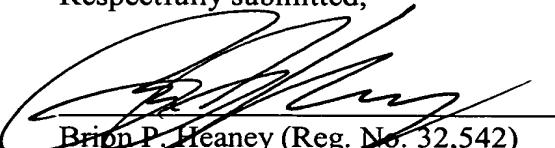
In addition, claim 13 has been amended to delete the term "diseases" as being a superfluous term. However, it is respectfully submitted that one of ordinary skill in the art already understands the term "diseases". Merely because a term is alleged to be considered broad does not mean the term is indefinite. See, e.g., *In re Gardner et al.*, 166 USPQ 138 (CCPA 1970). Further, with regards to claim 15, this claim does recite the diseases which are being treated, i.e., those diseases "which are associated with microglia activation." As one of ordinary skill in the art understands the mechanism of microglia activation, and is aware of the diseases associated therewith, this term is sufficiently definite.

Regarding claim 1, it is respectfully submitted that the references to R<sup>1</sup> substituents, R<sup>2</sup> substituents, and R<sup>3</sup> substituents are sufficiently clear to one of ordinary skill in the art, especially in the context of the overall claim and applicants' specification. In any event, the claim has been amended to recite that the substituents can be linked together in the definition of R<sup>1</sup> and R<sup>2</sup> are the substituents for the aryl and heteroaryl groups. With regards to the definition of R<sup>3</sup>, contrary to the assertion in the rejection, R<sup>3</sup> is expressly defined as **one or two** substituents in the claim. Thus, when R<sup>3</sup> represents two substituents one of ordinary skill in the art can understand the description in the claim of these substituents coming together to jointly form the specified structures.

In view of the above remarks, it respectfully submitted that applicants' claims are sufficiently definite to one of ordinary skill in the art. Withdrawal of the rejection under 35 U.S.C. §112, second paragraph, is respectfully requested.

Attached hereto is a marked-up version of the changes made to the specification and claims by the current amendment. The attached pages are captioned "**Version with Markings to Show Changes Made**".

Respectfully submitted,



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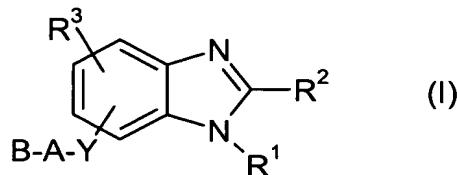
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**VERSION WITH MARKINGS TO SHOW CHANGES MADE**

**In the Claims:**

Please amend claims 1-13 and 15-17 as follows:

--1. (Twice Amended) A benzimidazole compound according to formula I



in which

**R<sup>1</sup>** means a monocyclic or bicyclic C<sub>6-12</sub> aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or O, wherein said aryl or heteroaryl group is unsubstituted or is substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I,

C(NH)NH<sub>2</sub>, C(NH)NHR<sup>4</sup>, C(NH)NR<sup>4</sup>R<sup>4</sup>, C(NR<sup>4</sup>)NH<sub>2</sub>, C(NR<sup>4</sup>)NHR<sup>4</sup>,

C(NR<sup>4</sup>)NR<sup>4</sup>R<sup>4</sup>,

XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOCONHR<sup>4</sup>, XOCOO<sup>4</sup>,

XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>

XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHR<sup>4</sup>, XCONHOH,

XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>

XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>,

SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>,

NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)SO<sub>2</sub>R<sup>4</sup>,

XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>,

XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisooindol-1-yl, and R<sup>4</sup>,

wherein two of said  $R^1$  substituents for the aryl or heteroaryl group, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, or butane-1,4-diyl;

$R^2$  means a monocyclic or bicyclic  $C_{6-10}$  aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or O, wherein said aryl or heteroaryl group is unsubstituted or is substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I,

XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOHR<sup>4</sup>, XOCOOR<sup>4</sup>,

XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>,

XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONHR<sup>4</sup>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHOH,

XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>,

XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>,

NO<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)SO<sub>2</sub>R<sup>4</sup>, XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisooindol-1-yl, and R<sup>4</sup>,

wherein two of said  $R^2$  substituents for the aryl or heteroaryl group, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediyl-bisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, or butane-1,4-diyl;

$R^3$  means one or two substituents which are independently of one another:

hydrogen,

F, Cl, Br, I,

XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOHR<sup>4</sup>, XOCOOR<sup>4</sup>,

XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>,

XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONHR<sup>4</sup>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHOH,

XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>,

SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>,

NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>,

XNHSO<sub>2</sub>R<sup>4</sup>, XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>),

XNHCOR<sup>4</sup>, XNHOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisindol-1-yl, or R<sup>4</sup>,

wherein two substituents R<sup>3</sup>, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxyl, ethane-1,2-diylbisoxyl, propane-1,3-diyl, or butane-1,4-diyl;

R<sup>4</sup> and R<sup>4'</sup>, independently of one another, mean C<sub>1-4</sub> perfluoroalkyl, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkinyl, C<sub>3-7</sub> cycloalkyl, C<sub>1-3</sub> alkyl-C<sub>3-7</sub> cycloalkyl, C<sub>1-3</sub> alkyl-C<sub>6-10</sub> aryl, C<sub>1-3</sub> alkyl-5 to 10-membered heteroaryl with 1-4 N, S or O atoms, or C<sub>6-10</sub> aryl or 5- to 10-membered heteroaryl with 1-4 N, S or O atoms, wherein aryl and heteroaryl groups are unsubstituted or substituted by one or two substituents selected from F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub>, and C<sub>2</sub>F<sub>5</sub>, or can carry an annelated methanediylbisoxyl group or ethane-1,2-diylbisoxyl group, and wherein a 5-membered cycloalkyl ring can have an N or O ring member, and wherein a 6- or 7-membered cycloalkyl ring can have N and/or O, and wherein one or two ring members which are each ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

R<sup>5</sup> and R<sup>5'</sup>, independently of one another, mean C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, or C<sub>2-6</sub> alkinyl, wherein in each case a carbon atom can be optionally replaced by O, S, SO, SO<sub>2</sub>, NH, N C<sub>1-3</sub> alkyl or N C<sub>1-3</sub> alkanoyl,

C<sub>3-7</sub> cycloalkyl-C<sub>0-3</sub> alkyl, wherein a 5-membered cycloalkyl ring, can optionally have an N or O ring member and a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members which are each N or and/or O, wherein ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

C<sub>6-10</sub> aryl or 5- to 10-membered heteroaryl with 1-4 heteroatoms from N, S, and O, whereby the mentioned alkyl, alkenyl and alkinyl chains can be substituted with one of the previously mentioned cycloalkyls, aryls or heteroaryls,

whereby all previously mentioned alkyl and cycloalkyl radicals can be substituted with up to two substituents selected from CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, OH, O C<sub>1-3</sub> alkyl, NH<sub>2</sub> NH<sub>2</sub>, NHC<sub>1-3</sub> alkyl, NHC<sub>1-3</sub> alkanoyl, N(C<sub>1-3</sub> alkyl)<sub>2</sub>, N(C<sub>1-3</sub> alkyl)(C<sub>1-3</sub> alkanoyl), COOH, CONH<sub>2</sub>, and COO C<sub>1-3</sub> alkyl, and all previously mentioned aryl and heteroaryl groups can optionally be

substituted with one or two substituents selected from F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub>, and C<sub>2</sub>F<sub>5</sub>, or else can carry an annelated methanediylbisoxyl, ethane-1,2-diyldisoxyl group, or

or R<sup>5</sup> and R<sup>5'</sup> together with the nitrogen atom form a 5-to 7-membered heterocyclic group, which can optionally contain another oxygen, nitrogen or sulfur atom and can be optionally substituted by C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy-C<sub>0-2</sub> alkyl, C<sub>1-4</sub> alkoxy-carbonyl, aminocarbonyl or phenyl,

**A** means C<sub>1-10</sub> alkanediyl, C<sub>2-10</sub> alkenediyl, C<sub>2-10</sub> alkinediyl, or (C<sub>0-5</sub> alkanediyl-C<sub>3-7</sub> cycloalkanediyl-C<sub>0-5</sub> alkanediyl), wherein a 5-membered cycloalkyl ring, can optionally have an N or O ring member, and a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members which are each N or O, whereby ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

whereby in above-mentioned aliphatic chains, a carbon atom or two carbon atoms can be optionally replaced by O, NH, N C<sub>1-3</sub> alkyl, N C<sub>1-3</sub> alkanoyl, and whereby alkyl or cycloalkyl groups can be optionally substituted with up to two substituents selected from =O, OH, O C<sub>1-3</sub> alkyl, NH<sub>2</sub> NH<sub>2</sub>, NHC<sub>1-3</sub> alkyl, NHC<sub>1-3</sub> alkanoyl, N(C<sub>1-3</sub> alkyl)<sub>2</sub>, and N(C<sub>1-3</sub> alkyl)(C<sub>1-3</sub> alkanoyl),

**B** means COOH, COOR<sup>5</sup>, CONH<sub>2</sub>, CONHNH<sub>2</sub>, CONHR<sup>5</sup>, CONR<sup>5</sup>R<sup>5'</sup>, CONHOH, CONHOR<sup>5</sup>, SO<sub>3</sub>H, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>5</sup>, SO<sub>2</sub>NR<sup>5</sup>R<sup>5'</sup>, PO<sub>3</sub>H, PO(OH)(OR<sup>5</sup>), PO(OR<sup>5</sup>)(OR<sup>5'</sup>), PO(OH)(NHR<sup>5</sup>), PO(NHR<sup>5</sup>)(NHR<sup>5'</sup>), or tetrazolyl, in each case bonded to a carbon atom of group **A**, or the entire group **Y-A-B** is N(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4'</sup>) or NSO<sub>2</sub>R<sup>4</sup>,

**X** means a bond, CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, CH(CH<sub>3</sub>), (CH<sub>2</sub>)<sub>3</sub>, CH(CH<sub>2</sub>CH<sub>3</sub>), CH(CH<sub>3</sub>)CH<sub>2</sub>, or CH<sub>2</sub>CH(CH<sub>3</sub>),

**Y** means O, NH, NR<sup>4</sup>, NCOR<sup>4</sup>, NSO<sub>2</sub>R<sup>4</sup>,

provided that if Y means NH, NR<sup>4</sup>, NCOR<sup>4</sup> or NSO<sub>2</sub>R<sup>4</sup>, and

a) substituent R<sup>2</sup> contains a nitrogen-containing, saturated heterocyclic group, this heterocyclic group is not substituted in the imine nitrogen with H, methyl, ethyl, propyl or isopropyl,

or

b) in optionally present groups XNHR<sup>4</sup> or XNR<sup>4</sup>R<sup>4'</sup> of substituent R<sup>2</sup>, R<sup>4</sup> and/or R<sup>4'</sup> does not mean C<sub>1-4</sub> alkyl,

that B does not mean COOH, SO<sub>3</sub>H, PO<sub>3</sub>H<sub>2</sub> or tetrazolyl at the same time, and R<sup>1</sup> and R<sup>2</sup>, independently of one another, mean C<sub>5-6</sub> heteroaryl or phenyl, if the latter, independently of one another, are unsubstituted, or are substituted simply with C<sub>1-6</sub> alkyl, C<sub>1-4</sub> perfluoroalkyl, O C<sub>1-6</sub> alkyl, O C<sub>1-4</sub> perfluoroalkyl, COOH, COO C<sub>1-6</sub> alkyl, CO C<sub>1-6</sub> alkyl, CONH<sub>2</sub>, CONHR<sup>4</sup>, NO<sub>2</sub>, NH<sub>2</sub>, NHCOR<sup>4</sup>, NHSO<sub>2</sub>R<sup>4</sup>, or with 1 or 2 halogen atoms from the group F, Cl, Br, and I, and

whereby the following compounds are excluded:

[(1,2-Diphenyl-1H-benzimidazol-6-yl)oxy]acetic acid methyl ester,

5-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]pentanoic acid methyl ester,

4-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]butanoic acid ethyl ester,

5-[[1-(4-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-pentanoic acid methyl ester,

6-[[1-(4-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester,

5-[[1-(4-aminophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,

5-[[1-[4-[(4-chlorophenyl)sulfonyl]amino]phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,

5-[[1-[4-[(acetyl)amino]phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester

5-[[1-(3-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,

6-[[1-(3-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester,  
5-[[1-(3-aminophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,

5-[[1-[3-[(4-chlorophenyl)sulfonyl]amino]phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester, and

5-[[1-[3-[(acetyl)amino]phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester.

2. (Amended) A benzimidazole compound Benzimidazoles according to claim 1, wherein characterized in that

**R<sup>1</sup>** is means a monocyclic or bicyclic C<sub>6-12</sub> aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-2 heteroatoms selected from the group that consists of N, S or O, wherein said whereby the mentioned aryl or heteroaryl group is unsubstituted or can be substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOHR<sup>4</sup>, XOCOOR<sup>4</sup>,  
XCOR<sup>4</sup>, XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4'</sup>, XCONHR<sup>4</sup>,  
XCONHOH, XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, NO<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4'</sup>, R<sup>4</sup>,  
whereby two of said substituents for the aryl or heteroaryl group substituents at R<sup>1</sup>, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, butane-1,4-diyl.

3. (Twice Amended) A benzimidazole compound Benzimidazoles according to claim 1, wherein

**R<sup>2</sup>** is means a monocyclic or bicyclic C<sub>6-10</sub> aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-2 heteroatoms selected from the group that consists of N, S or O, wherein said whereby the mentioned aryl or heteroaryl group is unsubstituted or can be substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOHR<sup>4</sup>, XOCOOR<sup>4</sup>,  
XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4'</sup>, XC(NO(COR<sup>4</sup>))R<sup>4'</sup>,  
XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONHR<sup>4</sup>, XCONR<sup>4</sup>R<sup>4'</sup>, XCONHOH,  
XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4'</sup>,  
NO<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4'</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)SO<sub>2</sub>R<sup>4</sup>, XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>, R<sup>4</sup>,  
whereby two of said substituents for the aryl or heteroaryl group substituents at R<sup>2</sup>, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, butane-1,4-diyl.

4. (Twice Amended) A benzimidazole compound Benzimidazoles according to claim 1, wherein  $\mathbf{R}^3$  ~~is means~~ one or two substituents, which are, independently of one another, ~~can be~~:

hydrogen, F, Cl, Br, XOH,  $\mathbf{XOR}^4$ ,  $\mathbf{XOCOR}^4$ ,  $\mathbf{XOCONHR}^4$ ,  $\mathbf{XOCOOR}^4$ ,  $\mathbf{XCOR}^4$ ,  $\mathbf{XC(NOH)R}^4$ ,  $\mathbf{XC(NOR}^4\mathbf{)R}^4$ ,  $\mathbf{XC(NO(COR}^4\mathbf{))R}^4$ , XCN,  $\mathbf{XSR}^4$ ,  $\mathbf{XSOR}^4$ ,  $\mathbf{XSO}_2\mathbf{R}^4$ ,  $\mathbf{SO}_2\mathbf{NH}_2$ ,  $\mathbf{SO}_2\mathbf{NHR}^4$ ,  $\mathbf{SO}_2\mathbf{NR}^4\mathbf{R}^4$ ,  $\mathbf{NO}_2$ ,  $\mathbf{XNH}_2$ ,  $\mathbf{XNHR}^4$ ,  $\mathbf{XNR}^4\mathbf{N}^4$ ,  $\mathbf{XNHSO}_2\mathbf{R}^4$ ,  $\mathbf{XNR}^4\mathbf{SO}_2\mathbf{R}^4$ ,  $\mathbf{XN(SO}_2\mathbf{R}^4\mathbf{)SO}_2\mathbf{R}^4$ ,  $\mathbf{XNHCOR}^4$ ,  $\mathbf{XNHCOOR}^4$ ,  $\mathbf{XNHCONHR}^4$ , or  $\mathbf{R}^4$ ,

whereby two substituents  $\mathbf{R}^3$ , if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxyl, ethane-1,2-diylbisoxyl, propane-1,3-diyl, or butane-1,4-diyl.

5. (Twice Amended) A benzimidazole compound Benzimidazoles according to claim 1, wherein  $\mathbf{R}^4$  and  $\mathbf{R}^{4'}$ , independently of one another, are each mean  $\mathbf{CF}_3$ ,  $\mathbf{C}_2\mathbf{F}_5$ ,  $\mathbf{C}_{1-4}$  alkyl,  $\mathbf{C}_{2-4}$  alkenyl,  $\mathbf{C}_{2-4}$  alkinyl,  $\mathbf{C}_{3-6}$  cycloalkyl, ( $\mathbf{C}_{1-3}$  alkyl- $\mathbf{C}_{3-6}$  cycloalkyl), phenyl or 5- to 6-membered heteroaryl with 1-2 N, S or O atoms, wherein whereby the phenyl and heteroaryl ~~group is unsubstituted or groups can be~~ substituted with one or two substituents from the ~~group that consists of~~  $\mathbf{F}$ ,  $\mathbf{Cl}$ ,  $\mathbf{Br}$ ,  $\mathbf{CH}_3$ ,  $\mathbf{C}_2\mathbf{H}_5$ ,  $\mathbf{OCH}_3$ ,  $\mathbf{OC}_2\mathbf{H}_5$ ,  $\mathbf{CF}_3$ , and  $\mathbf{C}_2\mathbf{F}_5$ , and in addition in a 5-membered cycloalkyl ring, a ring member can be an N or an O atom, and in a 6-membered cycloalkyl ring, one or two ring members can in each case be N or and/or O atom, whereby ring nitrogens optionally can be substituted with  $\mathbf{C}_{1-3}$  alkyl or  $\mathbf{C}_{1-3}$  alkanoyl.

6. (Twice Amended) A benzimidazole compound Benzimidazoles according to claim 1, wherein  $\mathbf{R}^5$  and  $\mathbf{R}^{5'}$ , independently of one another, are each ~~can be~~  $\mathbf{C}_{1-6}$  alkyl, whereby a carbon atom can be exchanged for O, NH,  $\mathbf{N-C}_{1-3}\text{-alkyl}$ ,  $\mathbf{N-C}_{1-3}\text{-alkanoyl}$   $\mathbf{NC}_{1-3}\text{ alkyl}$ , or  $\mathbf{NC}_{1-3}\text{ alkanoyl}$ ,

$\mathbf{C}_{3-7}$  cycloalkyl- $\mathbf{C}_{0-3}$  alkyl, whereby in a 5-membered cycloalkyl ring, a ring member can be an N or an O atom, and in a 6- or 7-membered cycloalkyl ring, one or two ring members can in each case be N or and/or O atom, whereby ring nitrogens optionally

can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl, whereby the mentioned C<sub>1-6</sub> alkyl part can be substituted with one of the previously mentioned cycloalkyls, or else a 5- to 6-membered heteroaromatic compound with 1-2 heteroatoms, selected from N, S or O,

whereby all previously mentioned alkyl and cycloalkyl parts are, optionally, can be substituted with up to two substituents that consist of CF<sub>3</sub>, OH, O C<sub>1-3</sub> alkyl, and the previously mentioned heteroaryl groups are, optionally, substituted with one or two substituents that consist of F, Cl, CF<sub>3</sub>, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, or

R<sup>5</sup> and R<sup>5'</sup> together with the nitrogen atom form a 5- to 7-membered heterocyclic compound, which can contain another oxygen, nitrogen or sulfur atom and is unsubstituted or can be substituted with C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy-C<sub>0-2</sub> alkyl, C<sub>1-4</sub> alkoxy-carbonyl, aminocarbonyl or phenyl.

7. (Twice Amended) A benzimidazole compound Benzimidazoles according to claim 1, wherein A is means C<sub>1-10</sub> alkanediyl, C<sub>2-10</sub> alkenediyl, C<sub>2-10</sub> alkinediyl, or (C<sub>0-5</sub> alkanediyl-C<sub>3-7</sub> cycloalkanediyl-C<sub>0-5</sub> alkanediyl), whereby in a 5-membered cycloalkanediyl cycloalkyl ring, a ring member can be an N or an O atom, or in a 6- or 7-membered cycloalkyl ring, one or two ring members can in each case be N or and/or O atom,, whereby ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

whereby in the above mentioned alkanediyl, alkenediyl, and alkinediyl aliphatic chains, a carbon atom or two carbon atoms can be exchanged for O, NH, N-C<sub>1-3</sub>-alkyl, or N-C<sub>1-3</sub>-alkanoyl NC<sub>1-3</sub> alkyl, or NC<sub>1-3</sub> alkanoyl.

8. (Twice Amended) A benzimidazole compound Benzimidazoles according to claim 1, wherein B means COOH, COOR<sup>5</sup>, CONH<sub>2</sub>, CONHR<sup>5</sup>, CONR<sup>5</sup>R<sup>5'</sup>, CONHOH, CONHOR<sup>5</sup> or tetrazolyl, which in each case is bonded to a carbon atom of group A.

9. (Twice Amended) A benzimidazole compound Benzimidazoles according to claim 1, wherein X means a bond or methylene.

10. (Twice Amended) A benzimidazole compound **Benzimidazoles** according to claim 1, wherein **Y** means O.

11. A benzimidazole compound according to claim 1, wherein said compound is selected from:

[(1,2-Diphenyl-1H-benzimidazol-6-yl)oxy]acetic acid isopropyl ester  
3-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]propanoic acid methyl ester  
2-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]propanoic acid methyl ester  
4-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]butanoic acid isopropyl ester  
5-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]pentanoic acid isopropyl ester  
6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanoic acid methyl ester  
6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanoic acid isopropyl ester  
6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-methoxy-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-(phenylmethoxy)-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-hydroxy-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
7-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]heptanoic acid methyl ester  
6-[[1-(3-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester  
6-[[2-phenyl-1-[3-(trifluoromethyl)phenyl]-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[2-phenyl-1-[3-(trifluoromethyl)phenyl]-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester  
6-[[1-(3-cyanophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-(3-cyanophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester  
6-[[1-(3-cyanophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid  
6-[[1-(4-cyanophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(4-cyanophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1-(3-chlorophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(3-chlorophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1-(4-chlorophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(4-chlorophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1-(3-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(3-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(3,5-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(3,5-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1-(3-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(3,4-dimethoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-[3,4-(methylenedioxy)phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-[3,4-(methylenedioxy)phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid

6-[[2-phenyl-1-(3,4,5-trimethoxyphenyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[2-phenyl-1-(3,4,5-trimethoxyphenyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid

6-[[2-phenyl-1-(3,4,5-trimethoxyphenyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1-[4-(N,N-dimethylamino)phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-[4-(N,N-dimethylamino)phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid

6-[[1-phenyl-2-[3-(trifluoromethyl)phenyl]-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[2-(3-chlorophenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[2-(3-chlorophenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[2-(4-chlorophenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[2-(4-chlorophenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[2-(4-methylphenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[2-(4-methylphenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1-phenyl-2-(4-pyridinyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[(1,2-diphenyl-5-nitro-1H-benzimidazol-6-yl)oxy]hexanoic acid methyl ester

6-[(1,2-diphenyl-5-nitro-1H-benzimidazol-6-yl)oxy]hexanoic acid isopropyl ester

6-[[5-[(4-bromophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[5-[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[5-[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1,2-diphenyl-5-[(3-methylphenyl)sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1,2-diphenyl-5-[(4-methylphenyl)sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1,2-diphenyl-5-[(4-methoxyphenyl)sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1,2-diphenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[5-[[4-(acetylamino)phenyl]sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[5-[[bis(3-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[1,2-diphenyl-5-[(propylsulfonyl)amino]-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

6-[[5-[(benzylsulfonyl)amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester

2-[2-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]ethoxy]acetic acid methyl ester

3-[2-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]ethoxy]propanoic acid methyl ester

6-[[1-(3-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid ethyl ester

6-[[4-acetyl-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-5-yl]oxy]hexanoic acid methyl ester

6-[[2-phenyl-1-[4-(thiomethyl)phenyl]-1H-benzimidazol-5-yl]oxy]hexanoic acid methyl ester

6-[[2-phenyl-1-[(4-(thiomethyl)phenyl]-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[2-phenyl-1-(3-thienyl)-1H-benzimidazol-5-yl]oxy]hexanoic acid methyl ester

6-[[2-phenyl-1-(3-thienyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

4-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]butanoic acid methyl ester

N-(phenylmethoxy)-6-[[2-phenyl-1-(3,4,5-trimethoxyphenyl)-1H-benzimidazol-6-yl]oxy]-hexanamide

N,N-dimethyl-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide

N-isopropyl-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide

6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]-1-pyrrolidin-1-ylhexan-1-one

5-[[5-[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester

6-[[5-[(4-chlorophenyl)sulfonyl]amino]-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[5-[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[4-(acetoxy)-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[4-hydroxy-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[4-hydroxy-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid, or

6-[[7-methyl-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester.

12. (Twice Amended) A benzimidazole compound according to claim 1, wherein said compound is selected from:

6-[[2-Phenyl-1-(3-pyridyl)-1H-benzimidazol-5-yl]oxy]hexanoic acid methyl ester

6-[[2-phenyl-1-(3-pyridyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[2-phenyl-1-(4-pyridyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[2-(4-fluoro-phenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

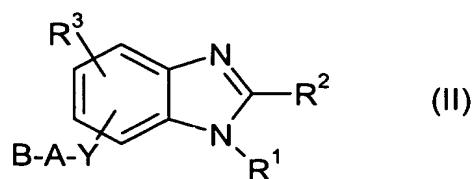
6-[[2-(4-methoxyphenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]-hexanoic acid methyl ester  
6-[[2-(4-bromophenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[2-[4-(trifluoromethyl)phenyl]-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-phenyl-2-(benzothien-2-yl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-phenyl-2-(benzothien-2-yl)-1H-benzimidazol-6-yl]oxy]hexanoic acid  
6-[[5-hydroxy-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester  
6-[[5-hydroxy-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid  
6-[[5-methoxy-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid isopropyl ester  
6-[[5-hydroxy-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[5-methoxy-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-2-(4-fluorophenyl)-1-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
4-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]butanoic acid methyl ester  
5-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester  
5-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester  
6-[[5-[[[(4-(trifluoromethyl)phenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[5-[[[(4-chlorophenyl)sulfonyl]methylamino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester

6-[[1-(indan-5-yl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-(indan-5-yl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid  
6-[[1-(3-fluorophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[2-(4-nitrophenyl)-1-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-phenyl-2-(3-pyridinyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
N-(cyclopropylmethoxy)-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-isobutoxy-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-(cyclopropylmethoxy)-6-[2-phenyl-1-(3,4,5-trimethoxyphenyl)-1H-benzimidazol-6-yl]oxy]-hexanamide  
N-isobutoxy-6-[2-phenyl-1-(3,4,5-trimethoxyphenyl)-1H-benzimidazol-6-yl]oxy]hexanamide  
N-(2-methoxyethyl)-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-(3-methoxypropyl)-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-isobutyl-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]-1-morpholin-1-ylhexan-1-one  
N,N-di(-2-methoxyethyl)-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-isopentyl-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-(pyridin-2-yl)-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-(pyridin-3-yl)-6-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]hexanamide  
N-isopropyl-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide  
N,N-dimethyl-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide  
N,N-diethyl-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide  
N-isobutyl-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide  
N-cyclopropyl-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide  
N-cyclobutyl-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide  
N-tert-butyl-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide  
(R)-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]1-(2-methoxymethyl)-pyrrolidin-1-ylhexan-1-one  
N-(3-imidazol-1-yl-propyl)-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide  
N-(2-pyridin-2-ylethyl)-6-[[1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanamide

N-(3-methoxypropyl)-6-[[1-(indan-5-yl)-2-phenyl-1H-benzimidazol-6-yl]oxy]heptanamide  
6-[[1-(4-methylphenyl)-2-(3-pyridyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-(4-methylphenyl)-2-(4-pyridyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-(4-methylphenyl)-2-(2-thienyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-(4-methylphenyl)-2-(3-thienyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[2-(3-indolyl)-1-(4-methylphenyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-(4-methylphenyl)-2-(2-furyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-(4-methylphenyl)-2-(3-furyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester  
6-[[1-(4-methylphenyl)-2-(5-methyl-2-thienyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid  
methyl ester or  
6-[[1-(4-methylphenyl)-2-(3-methyl-2-thienyl)-1H-benzimidazol-6-yl]oxy]hexanoic acid  
methyl ester;  
each a compound of claim 1.

13. (Twice Amended) A process for preparing a pharmaceutical composition for  
treating or preventing diseases comprising combining a compound according to claim 1 with  
a pharmaceutical vehicle or diluent.

15. (Twice Amended) A method for treating a patient suffering from a disease  
associated with microglia activation comprising administering to said patient an effective  
amount of a benzimidazole compound of formula II



in which

**R<sup>1</sup>** means a monocyclic or bicyclic C<sub>6-12</sub> aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-4 heteroatoms selected from of N, S and O, whereby said aryl or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I, C(NH)NH<sub>2</sub>, C(NH)NHR<sup>4</sup>, C(NH)NR<sup>4</sup>R<sup>4</sup>, C(NR<sup>4</sup>)NH<sub>2</sub>, C(NR<sup>4</sup>)NHR<sup>4</sup>, C(NR<sup>4</sup>)NR<sup>4</sup>R<sup>4</sup>, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>, XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHR<sup>4</sup>, XCONHOH, XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>), XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>, XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisooindol-1-yl, and R<sup>4</sup>, wherein two of said substituents for the aryl or heteroaryl group R<sup>1</sup> substituents, if they are in ortho-position to one another, can optionally be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, or butane-1,4-diyl;

**R<sup>2</sup>** means a monocyclic or bicyclic C<sub>6-10</sub> aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-4 heteroatoms selected from N, S and O, wherein said aryl or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I, C(NH)NH<sub>2</sub>, C(NH)NHR<sup>4</sup>, C(NH)NR<sup>4</sup>R<sup>4</sup>, C(NR<sup>4</sup>)NH<sub>2</sub>, C(NR<sup>4</sup>)NHR<sup>4</sup>, C(NR<sup>4</sup>)NR<sup>4</sup>R<sup>4</sup>, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>, XCN,

XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4'</sup>, XCONHR<sup>4</sup>, XCONHOH, XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4'</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4'</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4'</sup>), XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>, XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, and R<sup>4</sup>, whereby two of said substituents for the aryl or heteroaryl group R<sup>2</sup> substituents, if they are in ortho-position to one another, can be optionally linked to one another in such a way that they jointly form methanediyl-bisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl, or butane-1,4-diyl;

R<sup>3</sup> stands for one or two substituents which are each independently of one another:

hydrogen, F, Cl, Br, I, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4'</sup>, XC(NO(COR<sup>4</sup>))R<sup>4'</sup>, XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONHR<sup>4</sup>, XCONR<sup>4</sup>R<sup>4'</sup>, XCONHOH, XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4'</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4'</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XNR<sup>4</sup>SO<sub>2</sub>R<sup>4'</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4'</sup>), XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, or 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, or R<sup>4</sup>, wherein two substituents R<sup>3</sup>, if they are in ortho-position to one another, can be optionally linked to one another in such a way that they jointly form methanediylbisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl, or butane-1,4-diyl;

**R<sup>4</sup>** and **R<sup>4'</sup>**, independently of one another, mean C<sub>1-4</sub> perfluoroalkyl, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkinyl, C<sub>3-7</sub> cycloalkyl, (C<sub>1-3</sub> alkyl-C<sub>3-7</sub> cycloalkyl), C<sub>1-3</sub> alkyl-C<sub>6-10</sub> aryl, C<sub>1-3</sub> alkyl 5 to 10-membered heteroaryl with 1-4 N, S or O atoms, C<sub>6-10</sub> aryl, or 5- to 10-membered heteroaryl with 1-4 N, S or O atoms, wherein the C<sub>6-10</sub> aryl and heteroaryl groups can be optionally substituted with one or two substituents selected from F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub>, and C<sub>2</sub>F<sub>5</sub>, or else can carry an annelated methanediylbisoxo group or ethane-1,2-diylbisoxo group, and wherein a 5-membered cycloalkyl ring can optionally have an N or O ring member, and wherein a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members selected have N and O, wherein ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl, .

**R<sup>5</sup>** and **R<sup>5'</sup>**, independently of one another, mean hydrogen, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkinyl, wherein in each case a carbon atom can be optionally replaced by O, S, SO, SO<sub>2</sub>, NH, N C<sub>1-3</sub> alkyl or N C<sub>1-3</sub> alkanoyl, C<sub>3-7</sub> cycloalkyl-C<sub>0-3</sub> alkyl, wherein a 5-membered cycloalkyl ring can optionally have an N or O ring member and a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members selected from N and O, wherein ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

C<sub>6-10</sub> aryl or 5- to 10-membered heteroaryl with 1-4 heteroatoms selected from N, S, and O, whereby the mentioned alkyl, alkenyl and alkinyl chains can be substituted with one of the previously mentioned cycloalkyls, aryls or heteroaryls,

whereby all previously mentioned alkyl and cycloalkyl radicals can optionally be substituted with up to two substituents selected from  $\text{CF}_3$ ,  $\text{C}_2\text{F}_5$ ,  $\text{OH}$ ,  $\text{O C}_{1-3}$  alkyl,  $\text{NH}_2$ ,  $\text{NH C}_{1-3}$  alkyl,  $\text{NH C}_{1-3}$  alkanoyl,  $\text{N}(\text{C}_{1-3}\text{ alkyl})_2$ ,  $\text{N}(\text{C}_{1-3}\text{ alkyl})(\text{C}_{1-3}\text{ alkanoyl})$ ,  $\text{COOH}$ ,  $\text{CONH}_2$ , and  $\text{COO C}_{1-3}$  alkyl, and all previously mentioned aryl and heteroaryl groups can be optionally substituted with one or two substituents selected from  $\text{F}$ ,  $\text{Cl}$ ,  $\text{Br}$ ,  $\text{CH}_3$ ,  $\text{C}_2\text{H}_5$ ,  $\text{NO}_2$ ,  $\text{OCH}_3$ ,  $\text{OC}_2\text{H}_5$ ,  $\text{CF}_3$ , and  $\text{C}_2\text{F}_5$  or else can carry an annelated methanediylbisoxyl, ethane-1,2-diylbisoxyl group, or

$\text{R}^5$  and  $\text{R}^{5'}$  together with the nitrogen atom form a 5-to 7-membered group, which can optionally contain another oxygen, nitrogen or sulfur atom and can be optionally substituted by  $\text{C}_{1-4}$  alkyl,  $\text{C}_{1-4}$  alkoxy- $\text{C}_{0-2}$  alkyl,  $\text{C}_{1-4}$  alkoxy-carbonyl, aminocarbonyl or phenyl,

- A** means  $\text{C}_{1-10}$  alkanediyl,  $\text{C}_{2-10}$  alkenediyl,  $\text{C}_{2-10}$  alkinediyl, ( $\text{C}_{0-5}$  alkanediyl- $\text{C}_{3-7}$  cycloalkanediyl- $\text{C}_{0-5}$  alkanediyl), ( $\text{C}_{0-5}$  alkanediylarylene- $\text{C}_{0-5}$  alkanediyl), or ( $\text{C}_{0-5}$  alkanediyl-heteroarylene- $\text{C}_{0-5}$  alkanediyl), wherein the aryl and heteroaryl groups can optionally be substituted with one or two substituents selected from  $\text{F}$ ,  $\text{Cl}$ ,  $\text{Br}$ ,  $\text{CH}_3$ ,  $\text{C}_2\text{H}_5$ ,  $\text{NO}_2$ ,  $\text{OCH}_3$ ,  $\text{OC}_2\text{H}_5$ ,  $\text{CF}_3$ , and  $\text{C}_2\text{F}_5$ , wherein a 5-membered cycloalkyl ring can optionally have a ring member selected from  $\text{N}$  and  $\text{O}$ , and a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members selected from  $\text{N}$  and  $\text{O}$ , wherein ring nitrogens optionally can be substituted with  $\text{C}_{1-3}$  alkyl or  $\text{C}_{1-3}$  alkanoyl, wherein the mentioned aliphatic chains, one or two carbon atoms can each optionally be replaced by for  $\text{O}$ ,  $\text{NH}$ ,  $\text{NR}^4$ ,  $\text{NCOR}^4$ , or  $\text{NSO}_2\text{R}^4$ ,

and wherein alkyl or cycloalkyl groups can be substituted with up to two substituents selected from F, OH, OR<sup>4</sup>, OCOR<sup>4</sup>, =O, NH<sub>2</sub>, NR<sup>4</sup>R<sup>4</sup>, NHCOR<sup>4</sup>, NHCOOR<sup>4</sup>, NHCONHR<sup>4</sup>, NSO<sub>2</sub>R<sup>4</sup> SH, and SR<sup>4</sup>,

**B** means hydrogen, OH, OCOR<sup>5</sup>, OCONHR<sup>5</sup>, OCOOR<sup>5</sup>, COR<sup>5</sup>, C(NOH)R<sup>5</sup>, C(NOR<sup>5</sup>)R<sup>5</sup>, C(NO(COR<sup>5</sup>))R<sup>5</sup>, COOH, COOR<sup>5</sup>, CONH<sub>2</sub>, CONHNH<sub>2</sub>, CONHR<sup>5</sup>, CONR<sup>5</sup>R<sup>5</sup>, CONHOH, CONHOR<sup>5</sup>, SO<sub>3</sub>H, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>5</sup>, SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, PO<sub>3</sub>H, PO(OH)(OR<sup>5</sup>), PO(OR<sup>5</sup>)(OR<sup>5</sup>), PO(OH)(NHR<sup>5</sup>), PO(NHR<sup>5</sup>)(NHR<sup>5</sup>), or tetrazolyl, respectively bonded to a carbon atom of group **A**,

or the entire group **Y-A-B** is N(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>) or NSO<sub>2</sub>R<sup>4</sup>,

**X** means a bond, CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, CH(CH<sub>3</sub>), (CH<sub>2</sub>)<sub>3</sub>, CH(CH<sub>2</sub>CH<sub>3</sub>), CH(CH<sub>3</sub>)CH<sub>2</sub>, or CH<sub>2</sub>CH(CH<sub>3</sub>),

**Y** means a bond, O, S, SO, SO<sub>2</sub>, NH, NR<sup>4</sup>, NCOR<sup>4</sup>, or NSO<sub>2</sub>R<sup>4</sup>.

16. (Twice Amended) A method according to claim 15, wherein

**R<sup>1</sup>** means a monocyclic or bicyclic aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-2 heteroatoms selected from the group that consists of N, S and O, wherein said aryl or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XCN, COOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHR<sup>4</sup>, XCONHOH, XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, NO<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, and R<sup>4</sup>,

wherein two of said substituents for the aryl or heteroaryl group R<sup>1</sup> substituents, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, or butane-1,4-diyl.

17. (Twice Amended) A method according to claim 15, wherein,

**R<sup>2</sup>** means a monocyclic or bicyclic aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-2 heteroatoms selected from N, S and O, wherein said aryl group or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>, XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHR<sup>4</sup>, XCONHOH, XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>'), XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>', XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, or R<sup>4</sup>,

whereby two of said substituents for the aryl or heteroaryl group R<sup>2</sup> substituents, if they are in ortho-position to one another, can be optionally linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl or, butane-1,4-diyl.--